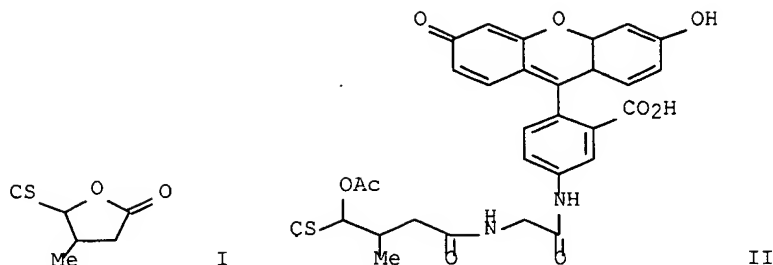


L35 ANSWER 168 OF 272 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1995:299863 HCAPLUS Full-text
 DOCUMENT NUMBER: 122:82089
 TITLE: Preparation of cyclosporin acid derivatives and
 derivative conjugates.
 INVENTOR(S): Margaritis, Argyrios; Paprica, Patricia A.; Petersen,
 Nils O.
 PATENT ASSIGNEE(S): University of Western Ontario, Can.
 SOURCE: Can. Pat. Appl., 9 pp.
 CODEN: CPXXEB
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CA 2086267	AA	19940625	CA 1992-2086267	19921224



AB Title compds. (I, II; CS = cyclosporin residue), were prepd. Thus, cyclosporin A in Me₃COH was added to K₂CO₃ and NaIO₄ in H₂O; aqueous KMnO₄ was added and the mixture was stirred 20 h to give 84% cyclosporin A acid. O-acetylcyclosporin acid, prepared similarly, was added to a mixture of 1-ethyl-3-[3-(dimethylamino)propyl]carbodiimide and 5-(aminoacetamido)fluorescein in aqueous NaOH; aqueous HCl was added by an autotitrator to maintain pH 5.50 and the reaction was continued 5 h to give 87% 5-(aminoacetamido)fluorescein-O-acetylcyclosporin acid amide.

IT 83602-41-9P, O-AcetylCyclosporin A 116438-58-5P
137718-40-2P 137718-41-3P

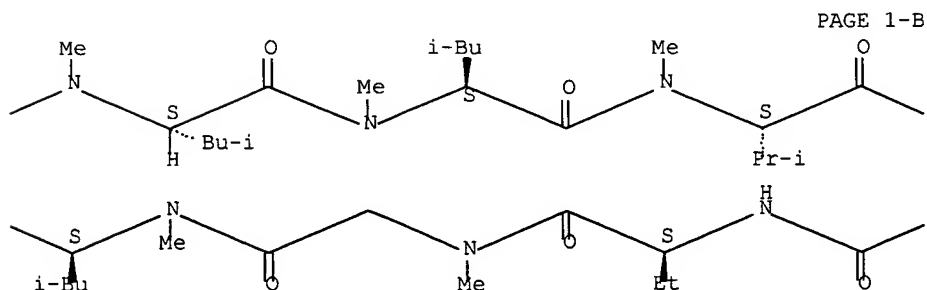
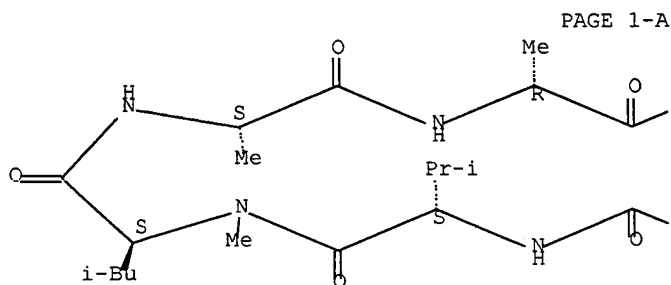
RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)

(preparation of cyclosporin acid derivs. and derivative conjugates)

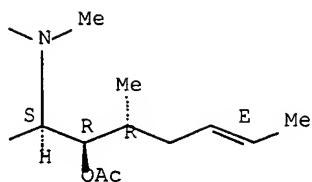
RN 83602-41-9 HCAPLUS

CN Cyclosporin A, 6-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



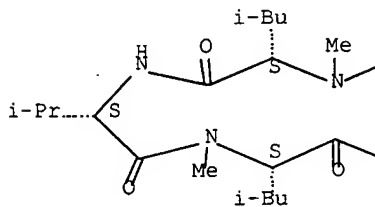
PAGE 1-C



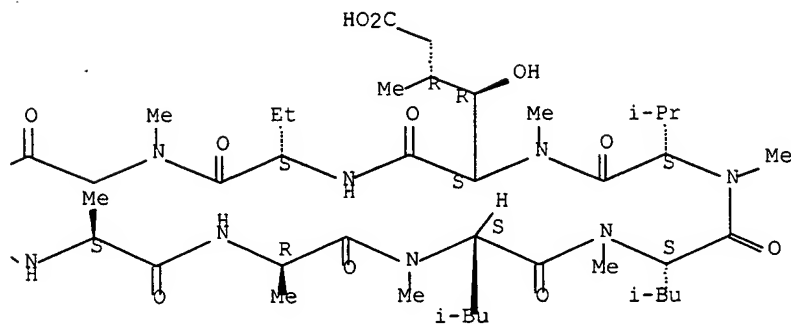
RN 116438-58-5 HCAPLUS
CN Cyclosporin A, 6-[(3R,4R)-5-carboxy-3-hydroxy-N-methyl-L-leucine]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

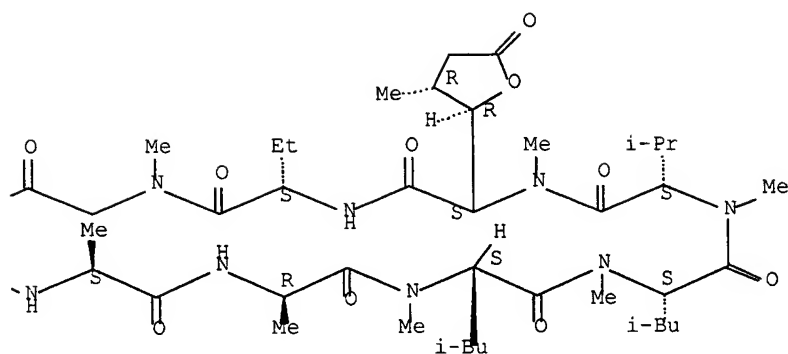
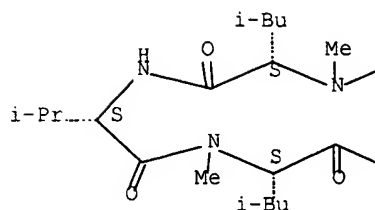


PAGE 1-B



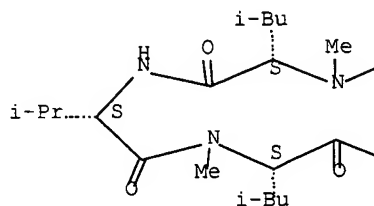
RN 137718-40-2 HCAPLUS
CN Cyclosporin A, 6-[(2S)-N-methyl-2-[(2R,3R)-tetrahydro-3-methyl-5-oxo-2-furanyl]glycine]- (9CI) (CA INDEX NAME)

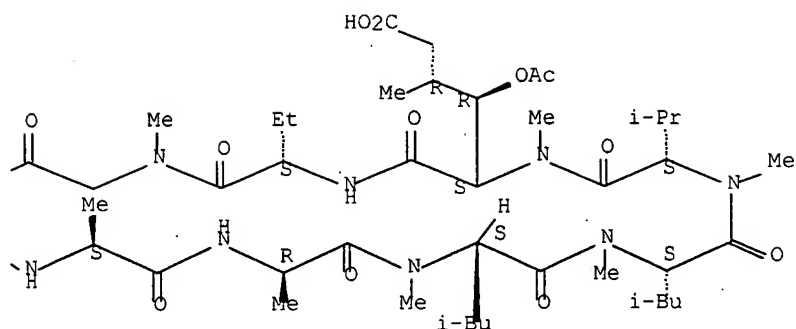
Absolute stereochemistry.



RN 137718-41-3 HCAPLUS
 CN Cyclosporin A, 6-[(3R,4R)-3-(acetyloxy)-5-carboxy-N-methyl-L-leucine]-
 (9CI) (CA INDEX NAME)

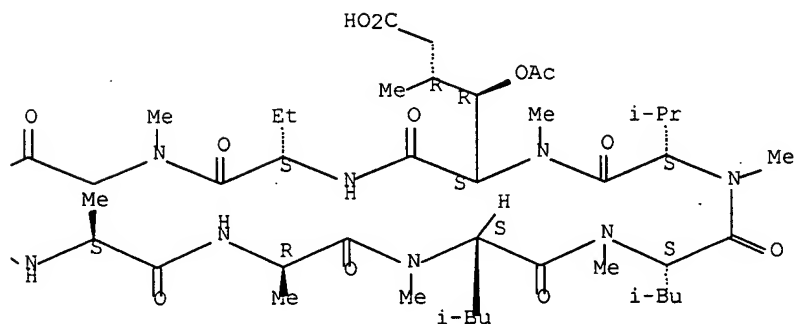
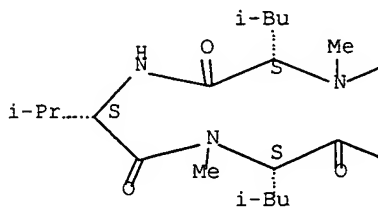
Absolute stereochemistry.





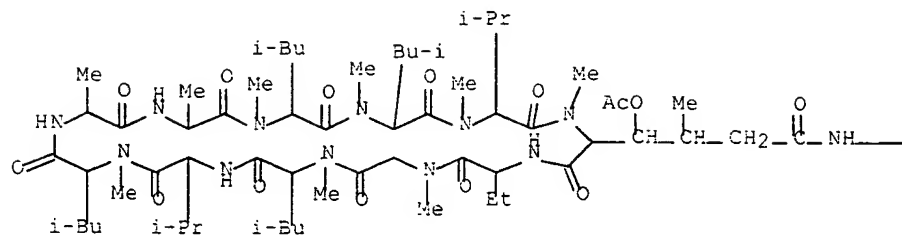
IT 137718-41-3DP, conjugates with polylysine and N-methylnitrobenzoxadiazolylaminoacetate 137718-43-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of cyclosporin acid derivs. and derivative conjugates)
 RN 137718-41-3 HCAPLUS
 CN Cyclosporin A, 6-[(3R,4R)-3-(acetyloxy)-5-carboxy-N-methyl-L-leucine]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 137718-43-5 HCAPLUS
 CN Cyclosporin A, 6-[(3R,4R)-3-(acetyloxy)-6-[[2-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]-2-oxoethyl]amino]-N,4-dimethyl-6-oxo-L-norleucine]-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

